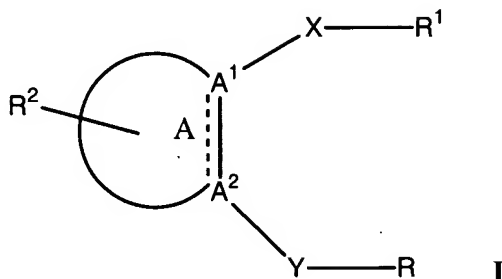


The listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims**

Claim 1 (original): A compound of Formula I

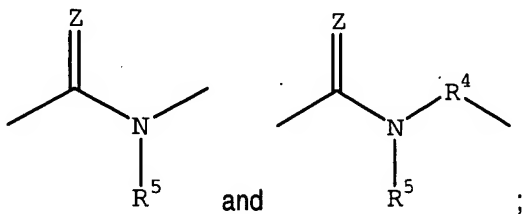


wherein each of A<sup>1</sup> and A<sup>2</sup> is independently C, or N;

wherein ring A is selected from

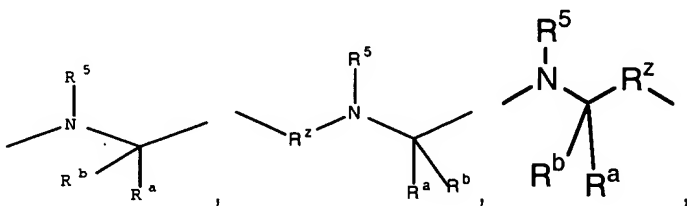
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

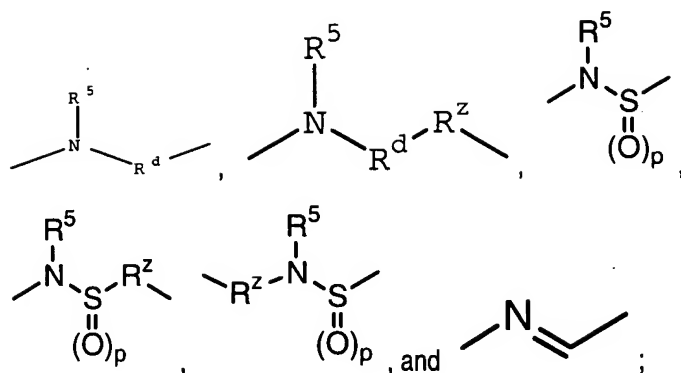
wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from





wherein p is 0 to 2,

wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano,  $-NHR^6$  and  $C_{1-4}$ -alkyl substituted with  $R^2$ , or

wherein  $R^a$  and  $R^b$  together form  $C_3$ - $C_6$  cycloalkyl;

wherein  $R^z$  is selected from  $C_1$ - $C_4$  alkylenyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an -NH-;

wherein  $R^d$  is cycloalkyl;

wherein R is selected from

- substituted or unsubstituted 5-6 membered heterocyclyl, and
- substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with  $R^2$ , cyano, nitro, lower alkenyl and lower alkynyl;

wherein  $R^1$  is selected from

- substituted or unsubstituted 6-10 membered aryl,
- substituted or unsubstituted 5-6 membered heterocyclyl,
- substituted or unsubstituted 9-11 membered fused heterocyclyl,
- cycloalkyl, and
- cycloalkenyl,

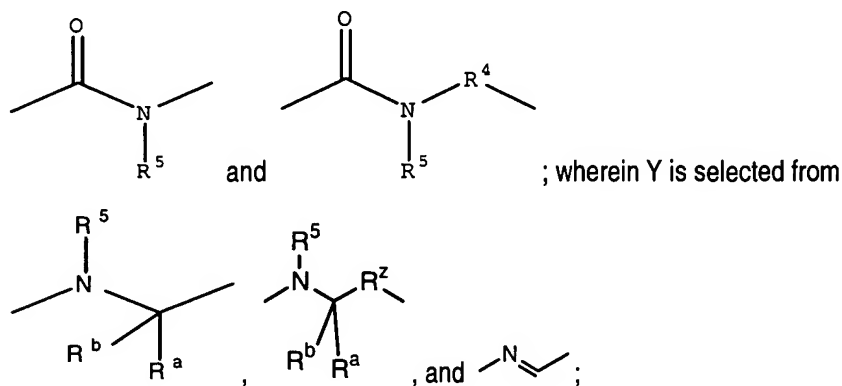
wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_1-C_4 \text{ alkylenyl}R^{14})$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with  $R^2$ , cyano, nitro, lower alkenyl and lower alkynyl;

wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-COR^3$ ,  $-CONR^3R^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally

substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;  
 wherein  $R^3$  is independently selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl,  $C_3$ - $C_6$  cycloalkyl, and lower haloalkyl;  
 wherein  $R^4$  is independently selected from  $C_2$ - $C_4$  alkynyl,  $C_2$ - $C_4$  alkenyl and  $C_2$ - $C_4$  alkynyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an -NH-;  
 wherein  $R^5$  is selected from H, lower alkyl, phenyl and lower aralkyl; and  
 wherein  $R^6$  is selected from H or  $C_{1-6}$ -alkyl;  
 wherein  $R^{14}$  is selected from H, phenyl, 5-6 membered heterocyclyl and  $C_3$ - $C_6$  cycloalkyl;  
 and pharmaceutically acceptable salts thereof;  
 provided A is not naphthyl when X is -C(O)NH- and when  $R^1$  is phenyl when Y is -NHCH<sub>2</sub>- and when R is 4-pyridyl;  
 further provided A is not pyridyl when X is -C(O)NH- and when Y is -NHCH<sub>2</sub>- and when R is 4-pyridylpiperidin-4-yl, 1-tertbutylpiperidin-4-yl, 1-isopropylpiperidin-4-yl or 1-cycloalkylpiperidin-4-yl; further provided A is not pyridyl when X is -C(O)NH- and when  $R^1$  is 4-[3-(3-pyridyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl when Y is -NHCH<sub>2</sub>- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH<sub>2</sub>-.

Claim 2 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6- membered partially saturated heterocyclyl.

Claim 3 (original): Compound of Claim 2, and pharmaceutically acceptable salts thereof, wherein A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolyl and pyrazolyl; wherein X is selected from

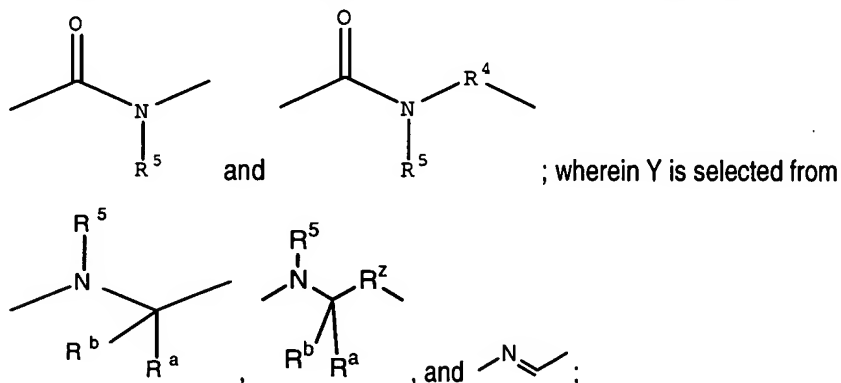


wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano, and  $C_{1-2}$ -alkyl substituted with  $R^2$ , or wherein  $R^a$  and  $R^b$  together form  $C_3$ - $C_4$  cycloalkyl; wherein  $R^2$  is  $C_1$ - $C_2$  alkynyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl

comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^1$  is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_1-C_2 \text{ alkylenyl}R^3)$ ,  $-(C_1-C_2 \text{ alkylenyl})NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1-2}$ -alkylenyl, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkylenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl,  $C_{1-3}$ -carboxyalkyl, nitro,  $C_{2-3}$ -alkenyl,  $C_{2-3}$ -alkynyl and  $C_{1-2}$ -haloalkyl; wherein  $R^3$  is selected from H,  $C_{1-2}$ -alkyl, phenyl,  $C_3-C_6$  cycloalkyl and  $C_{1-2}$ -haloalkyl; wherein  $R^4$  is  $C_{2-3}$ -alkylenyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an  $-NH-$ ; and wherein  $R^5$  is selected from H and  $C_{1-2}$ -alkyl.

Claim 4 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6- membered heteroaryl.

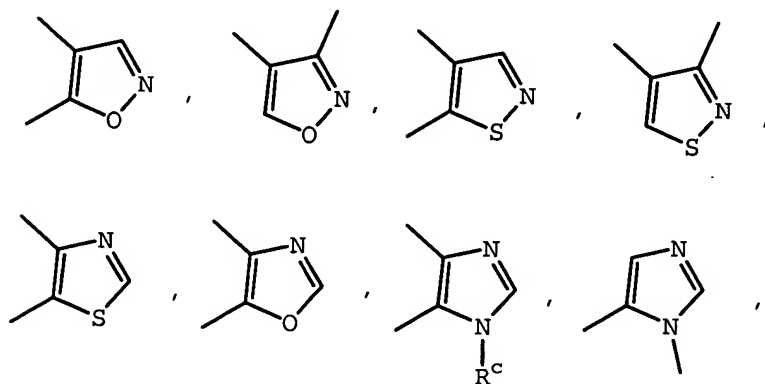
Claim 5 (original): Compound of Claim 4, and pharmaceutically acceptable salts thereof, wherein A is selected from pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl; wherein X is selected from

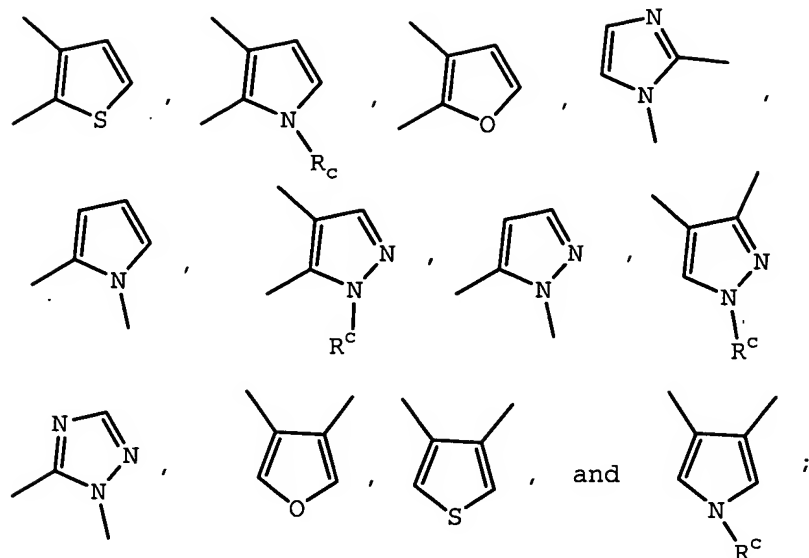


wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano, and  $C_{1-2}$ -alkyl substituted with  $R^2$ , or wherein  $R^a$  and  $R^b$  together form  $C_3-C_4$  cycloalkyl; wherein  $R^z$  is  $C_1-C_2$  alkylenyl, where one of the  $CH_2$  groups may be substituted

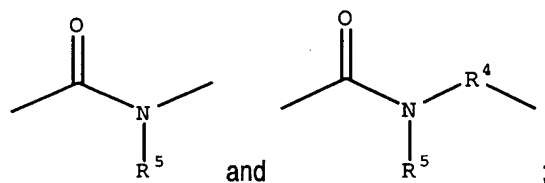
with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>2</sub> alkylenylR<sup>3</sup>), -(C<sub>1</sub>-C<sub>2</sub> alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-2</sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, C<sub>1-2</sub>-alkyl, phenyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl.

Claim 6 (original): Compound of Claim 1 wherein A is selected from

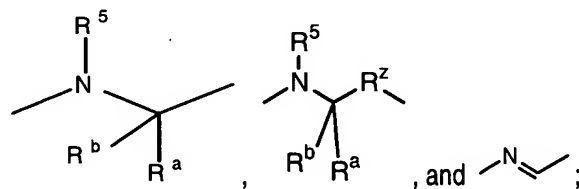




wherein  $R^c$  is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



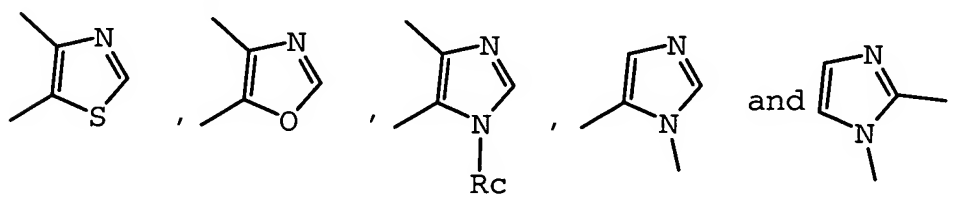
wherein Y is selected from



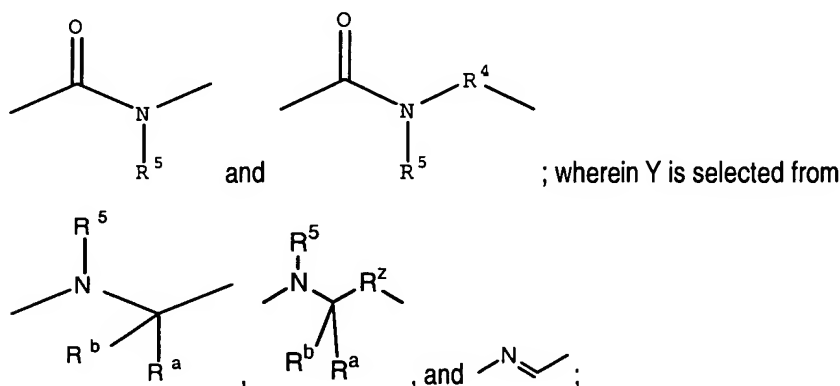
wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano, and  $C_{1-2}$ -alkyl substituted with  $R^2$ , or wherein  $R^a$  and  $R^b$  together form  $C_3$ - $C_4$  cycloalkyl; wherein  $R^z$  is  $C_1$ - $C_2$  alkylenyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^1$  is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_1-C_2 \text{ alkylenyl}R^3)$ ,  $-(C_1-C_2 \text{ alkylenyl})NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted

phenyl- $C_{1,2}$ -alkylenyl, optionally substituted 5-6 membered heterocyclyl- $C_1C_2$ -alkylenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl, nitro and  $C_{1,2}$ -haloalkyl; wherein  $R^2$  is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl,  $C_{1,3}$ -carboxyalkyl, nitro,  $C_{2,3}$ -alkenyl,  $C_{2,3}$ -alkynyl and  $C_{1,2}$ -haloalkyl; wherein  $R^3$  is selected from H,  $C_{1,2}$ -alkyl, phenyl,  $C_3$ - $C_6$  cycloalkyl and  $C_{1,2}$ -haloalkyl; wherein  $R^4$  is  $C_{2,3}$ -alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; and wherein  $R^5$  is selected from H and  $C_{1,2}$ -alkyl.

Claim 7 (original): Compound of Claim 6 wherein A is selected from



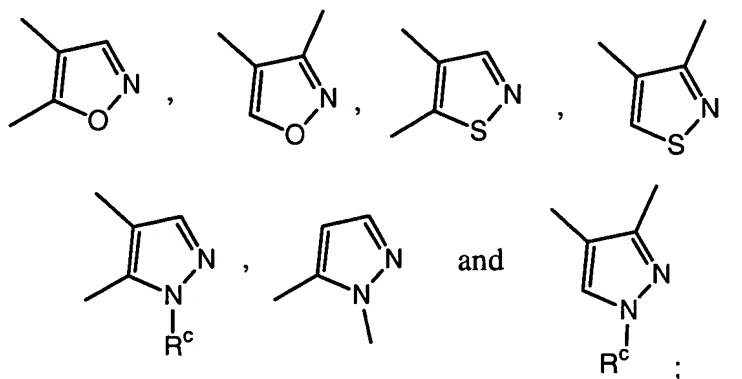
wherein  $R^C$  is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



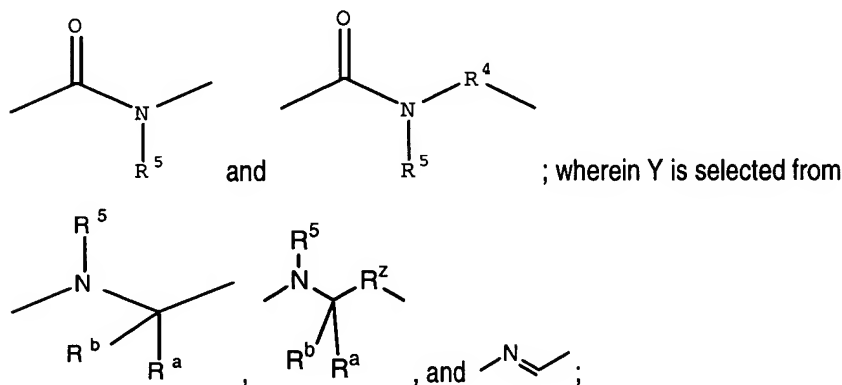
wherein  $R^a$  and  $R^b$  are independently selected from H, halo, and  $C_{1,2}$ -alkyl; wherein  $R^z$  is  $C_1$ - $C_2$  alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolynyl, isoquinolynyl, quinazolynyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl, nitro and  $C_{1,2}$ -haloalkyl; wherein  $R^1$  is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolynyl, benzodioxanyl, quinazolynyl, furyl and pyrrolyl; wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH( $C_1$ - $C_2$ -alkylenyl- $R^3$ ), -( $C_1$ - $C_2$ -alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally

substituted phenyl- $C_{1,2}$ -alkylenyl, optionally substituted 5-6 membered heterocyclyl- $C_1C_2$ -alkylenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl, nitro and  $C_{1,2}$ -haloalkyl; wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl,  $C_{1,3}$ -carboxyalkyl, nitro,  $C_{2,3}$ -alkenyl,  $C_{2,3}$ -alkynyl and  $C_{1,2}$ -haloalkyl; wherein  $R^3$  is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein  $R^4$  is  $C_{2,3}$ -alkylenyl; and wherein  $R^5$  is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

Claim 8 (original): Compound of Claim 6 wherein A is selected from



wherein  $R^c$  is selected from H, methyl and optionally substituted phenyl; wherein X is selected from

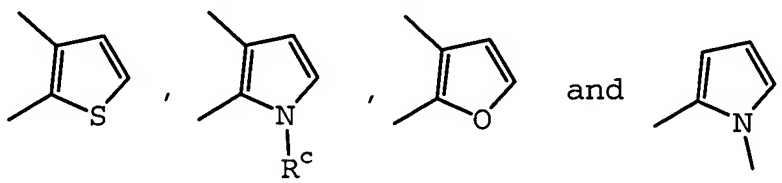


wherein  $R^a$  and  $R^b$  are independently selected from H, halo, and  $C_{1,2}$ -alkyl; wherein  $R^z$  is  $C_1$ - $C_2$  alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl, nitro and  $C_{1,2}$ -haloalkyl; wherein  $R^1$  is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted  $R^1$  is

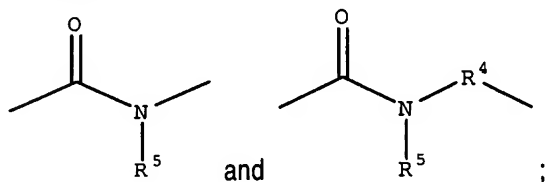


substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_1-C_2\text{-alkylenyl}-R^3)$ ,  $-(C_1-C_2\text{-alkylenyl})NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1,2}$ -alkylenyl, optionally substituted 5-6 membered heterocyclyl- $C_1C_2$ -alkylenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl, nitro and  $C_{1,2}$ -haloalkyl; wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl,  $C_{1,3}$ -carboxyalkyl, nitro,  $C_{2,3}$ -alkenyl,  $C_{2,3}$ -alkynyl and  $C_{1,2}$ -haloalkyl; wherein  $R^3$  is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein  $R^4$  is  $C_{2,3}$ -alkylenyl; and wherein  $R^5$  is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

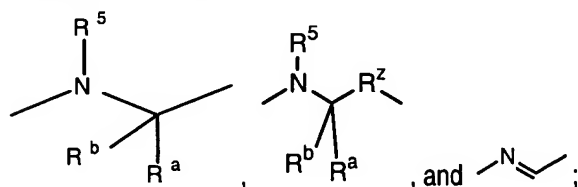
Claim 9 (original): Compound of Claim 6 wherein A is selected from



wherein  $R^2$  is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



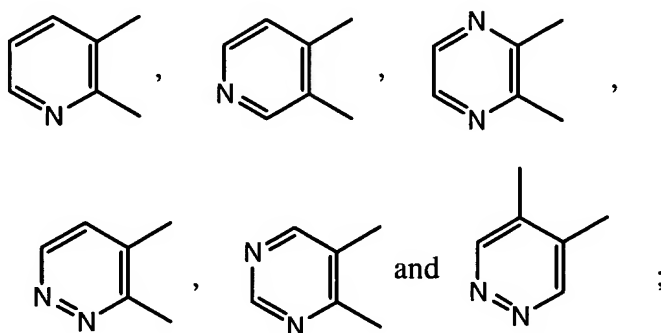
wherein Y is selected from



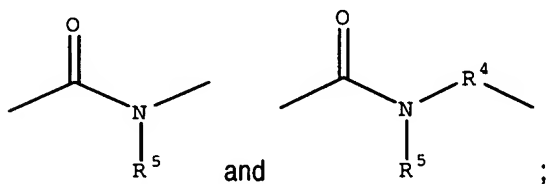
wherein  $R^3$  and  $R^b$  are independently selected from H, halo, and  $C_{1,2}$ -alkyl; wherein  $R^z$  is  $C_1-C_2$  alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1,2}$ -alkyl, cyano,  $C_{1,2}$ -hydroxyalkyl, nitro and  $C_{1,2}$ -haloalkyl; wherein  $R^1$  is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl,

2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolyl, benzodioxanyl, quinazolyl, furyl and pyrrolyl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>2</sub>-alkylenyl-R<sup>3</sup>), -(C<sub>1</sub>-C<sub>2</sub>-alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1,2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1,2</sub>-alkylenyl, C<sub>1,2</sub>-alkyl, cyano, C<sub>1,2</sub>-hydroxyalkyl, nitro and C<sub>1,2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1,2</sub>-alkyl, cyano, C<sub>1,2</sub>-hydroxyalkyl, C<sub>1,3</sub>-carboxyalkyl, nitro, C<sub>2,3</sub>-alkenyl, C<sub>2,3</sub>-alkynyl and C<sub>1,2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R<sup>4</sup> is C<sub>2,3</sub>-alkylenyl; and wherein R<sup>5</sup> is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

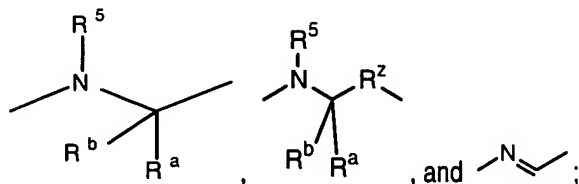
Claim 10 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from



wherein X is selected from



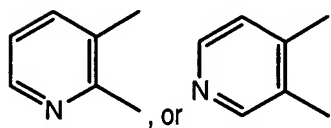
wherein Y is selected from



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, and C<sub>1,2</sub>-alkyl; wherein R<sup>2</sup> is C<sub>1</sub>-C<sub>2</sub> alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-

pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^1$  is a substituted or unsubstituted substituent group selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_1-C_2\text{-alkylenyl}-R^3)$ ,  $-(C_1-C_2\text{-alkylenyl})NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1-2}$ -alkylenyl, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkylenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl,  $C_{1-3}$ -carboxyalkyl, nitro,  $C_{2-3}$ -alkenyl,  $C_{2-3}$ -alkynyl and  $C_{1-2}$ -haloalkyl; wherein  $R^3$  is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein  $R^4$  is  $C_{2-3}$ -alkylenyl; and wherein  $R^5$  is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

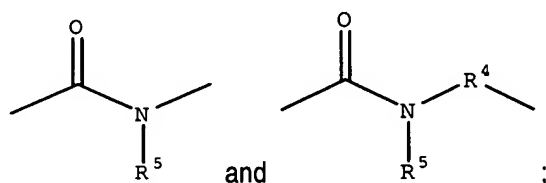
Claim 11 (original): Compound of Claim 10, and pharmaceutically acceptable salts thereof, wherein A is



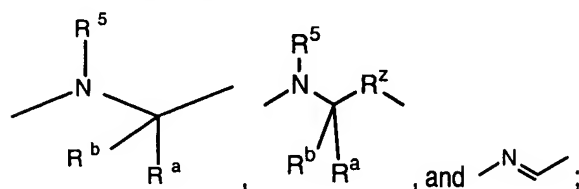
; wherein X is  $-C(O)-NH-$ ; wherein Y is  $-NH-CH_2-$ ; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 4-isoquinolyl, 5-isoquinolyl, 6-isoquinolyl, 5-indazolyl, 4-pyrimidinyl and 4-pyridazinyl; wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^1$  is selected from substituted or unsubstituted phenyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzodioxanyl, and quinazolinyl; wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1-2}$ -alkylenyl, morpholinylmethyl, methylpiperidinylmethyl, methylpiperazinylmethyl,  $C_{1-2}$ -alkyl, cyano,  $C_{1-2}$ -hydroxyalkyl, nitro and  $C_{1-2}$ -haloalkyl; wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6

membered heterocyclyl, optionally substituted phenyl, C<sub>1,2</sub>-alkyl, cyano, C<sub>1,2</sub>-hydroxyalkyl, C<sub>1,3</sub>-carboxyalkyl, nitro, C<sub>2,3</sub>-alkenyl, C<sub>2,3</sub>-alkynyl and C<sub>1,2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R<sup>4</sup> is C<sub>2,3</sub>-alkylenyl; and wherein R<sup>5</sup> is from H, methyl or ethyl.

Claim 12 (original): Compound of Claim 1 wherein A is 9- or 10-membered fused partially saturated heterocyclyl or 9- or 10-membered fused heteroaryl; wherein X is selected from



wherein Y is selected from

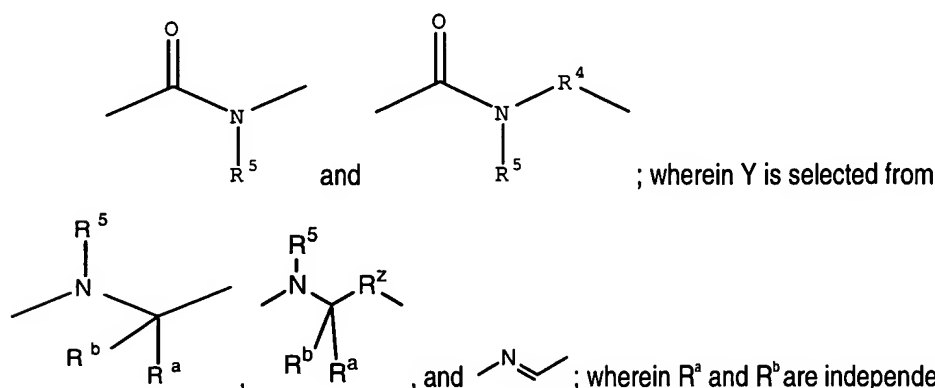


wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, cyano, and C<sub>1,2</sub>-alkyl substituted with R<sup>2</sup>, or wherein R<sup>a</sup> and R<sup>b</sup> together form C<sub>3</sub>-C<sub>4</sub> cycloalkyl; wherein R<sup>2</sup> is C<sub>1</sub>-C<sub>2</sub> alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1,2</sub>-alkyl, cyano, C<sub>1,2</sub>-hydroxyalkyl, nitro and C<sub>1,2</sub>-haloalkyl; wherein R<sup>1</sup> is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>2</sub> alkylenylR<sup>3</sup>), -(C<sub>1</sub>-C<sub>2</sub> alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1,2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1,2</sub>-alkylenyl, C<sub>1,2</sub>-alkyl, cyano, C<sub>1,2</sub>-hydroxyalkyl, nitro and C<sub>1,2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1,2</sub>-alkyl, cyano, C<sub>1,2</sub>-hydroxyalkyl, C<sub>1,3</sub>-carboxyalkyl, nitro, C<sub>2,3</sub>-alkenyl, C<sub>2,3</sub>-alkynyl and C<sub>1,2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, C<sub>1,2</sub>-alkyl, phenyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl and C<sub>1,2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2,3</sub>-alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an

oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl; and pharmaceutically acceptable salts thereof.

Claim 13 (original): Compound of Claim 12 wherein A is selected from benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, naphthpyridinyl, tetrahydroquinolyl, quinoxaliny and quinazolinyl; and pharmaceutically acceptable salts thereof.

Claim 14 (original): Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is 5- or 6-membered cycloalkenyl; wherein X is selected from



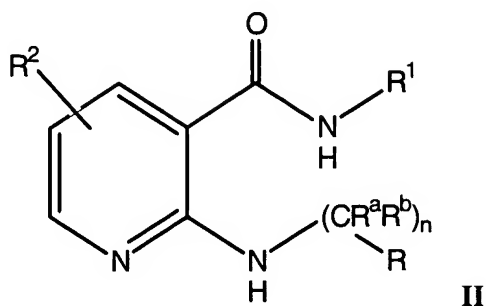
, and  $\text{CH}_2=\text{CH}-$ ; wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, cyano, and C<sub>1-2</sub>-alkyl substituted with R<sup>2</sup>, or wherein R<sup>a</sup> and R<sup>b</sup> together form C<sub>3</sub>-C<sub>4</sub> cycloalkyl; wherein R<sup>z</sup> is C<sub>1</sub>-C<sub>2</sub> alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>1</sup> is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>2</sub> alkylenylR<sup>3</sup>), -(C<sub>1</sub>-C<sub>2</sub> alkylenyl)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-2</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, nitro and C<sub>1-2</sub>-haloalkyl; wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-2</sub>-alkyl, cyano, C<sub>1-2</sub>-

hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>3</sup> is selected from H, C<sub>1-2</sub>-alkyl, phenyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl; wherein R<sup>4</sup> is C<sub>2-3</sub>-alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-; and wherein R<sup>5</sup> is selected from H and C<sub>1-2</sub>-alkyl.

Claim 15 (original): Compound of Claim 14 wherein A is cyclopentadienyl or cyclopentenyl; and pharmaceutically acceptable salts thereof.

Claim 16 (original): Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from  
*N*-(4-chlorophenyl)-3-[(4-pyridylmethyl)amino]-4-pyridinecarboxamide;  
*N*-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;  
*N*-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;  
*N*-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;  
*N*-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
{6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-*N*-(3-fluorophenyl)carboxamide;  
*N*-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;  
*N*-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;  
*N*-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide; and  
*N*-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide.

Claim 17 (original): A compound of Claim 1 having Formula II



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;

wherein R<sup>1</sup> is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted phenyloxy,

benzyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>C<sub>2</sub>-alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

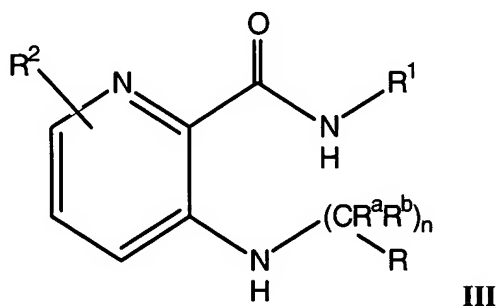
unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein  $R^6$  is H or  $C_{1-6}$ -alkyl;  
and pharmaceutically acceptable isomers and salts thereof.

Claim 18 (original): Compound of Claim 17 wherein  $R^a$  and  $R^b$  are H;  
wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;  
wherein  $R^1$  is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where  $R^1$  is unsubstituted or substituted with one or more substituents selected  
from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and  
wherein  $R^2$  is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected  
from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;  
and pharmaceutically acceptable salts thereof.

Claim 19 (original): A compound of Claim 1 having Formula III



wherein  $R^a$  and  $R^b$  are independently selected from H, halo,  $C_{1-4}$ -alkyl and  $-N(R^6)_2$ ;  
wherein n is 1-2;  
wherein R is selected from  
a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

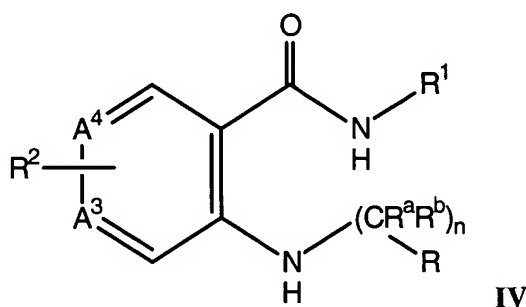


b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,  
 where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;  
 wherein R<sup>1</sup> is selected from unsubstituted or substituted  
     aryl,  
     5-6 membered heteroaryl and  
     9-10 membered fused heteroaryl,  
 wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;  
 wherein R<sup>2</sup> is one or more substituents independently selected from  
     H,  
     halo,  
     C<sub>1-6</sub>-alkyl,  
     C<sub>1-6</sub>-haloalkyl,  
     C<sub>1-6</sub>-alkoxy,  
     C<sub>1-6</sub>-haloalkoxy,  
     C<sub>1-6</sub>-carboxyalkyl,  
     unsubstituted or substituted aryl and  
     unsubstituted or substituted 5-6 membered heteroaryl; and  
 wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;  
 and pharmaceutically acceptable isomers and salts thereof.

Claim 20 (original): Compound of Claim 19 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
 wherein n is 1-2;  
 wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalyl, where R is unsubstituted or substituted with one or more substituents selected  
     from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;  
 wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenoxy, methoxy and ethoxy; and wherein  $R^2$  is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable salts thereof.

Claim 21 (original): A compound of Claim 1 having Formula IV



wherein  $A^3$  is selected from  $CR^2$  and N;

wherein  $A^4$  is selected from  $CR^2$  and N; provided one of  $A^3$  and  $A^4$  is not  $CR^2$ ;

wherein  $R^a$  and  $R^b$  are independently selected from H, halo,  $C_{1-4}$ -alkyl and  $-N(R^6)_2$ ;

wherein n is 1-2;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl and  $C_{1-6}$ -alkoxy;

wherein  $R^1$  is selected from unsubstituted or substituted aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted  $R^1$  is substituted with one or more substituents selected from halo,  $C_{1-6}$ -alkyl, optionally

substituted  $C_{3-6}$ -cycloalkyl, optionally substituted phenyl, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkylenyl,  $C_{1-6}$ -haloalkoxy, optionally substituted phenoxy, benzyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy,  $C_{1-6}$ -haloalkyl, and  $C_{1-6}$ -alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,  
halo,  
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,  
C<sub>1-6</sub>-haloalkoxy,  
C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 22 (original): Compound of Claim 21 wherein R<sup>a</sup> and R<sup>b</sup> are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalanyl, where R is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

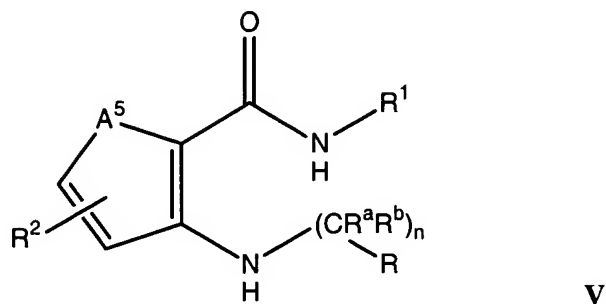
wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalanyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R<sup>2</sup> is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

Claim 23 (original): A compound of Claim 1 having the Formula V



wherein  $A^5$  is selected from S, O and  $NR^6$ ;

wherein  $R^a$  and  $R^b$  are independently selected from H, halo,  $C_{1-4}$ -alkyl and  $-N(R^6)_2$ ;

wherein  $n$  is 1-2;

wherein  $R$  is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where  $R$  is substituted with one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl and  $C_{1-6}$ -alkoxy;

wherein  $R^1$  is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted  $R^1$  is substituted with one or more substituents selected from halo,  $C_{1-6}$ -alkyl, optionally

substituted  $C_{3-6}$ -cycloalkyl, optionally substituted phenyl,  $C_{1-6}$ -haloalkoxy, optionally substituted phenoxy,

benzyl, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy,  $C_{1-6}$ -haloalkyl, and  $C_{1-6}$ -alkoxy;

wherein  $R^2$  is one or more substituents independently selected from

H,

halo,

$C_{1-6}$ -alkyl,

$C_{1-6}$ -haloalkyl,

$C_{1-6}$ -alkoxy,

$C_{1-6}$ -haloalkoxy,

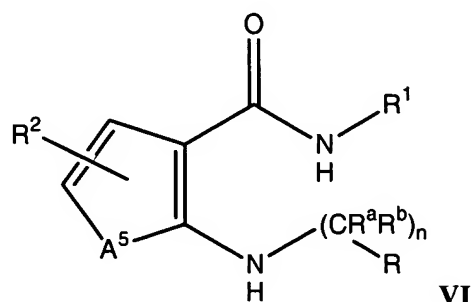
$C_{1-6}$ -carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and  
 wherein  $R^6$  is H or  $C_{1-6}$ -alkyl;  
 and pharmaceutically acceptable isomers and salts thereof.

Claim 24 (original): Compound of Claim 23 wherein  $R^a$  and  $R^b$  are H;  
 wherein n is 1-2;  
 wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxaliny, where R is unsubstituted or substituted with one or more substituents selected  
 from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;  
 wherein  $R^1$  is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxaliny, tetrahydroquinoliny, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where  $R^1$  is unsubstituted or substituted with one or more substituents selected  
 from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and  
 wherein  $R^2$  is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected  
 from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;  
 and pharmaceutically acceptable salts thereof.

Claim 25 (original): A compound of Claim 1 having the Formula



wherein  $A^5$  is selected from S, O and  $NR^6$ ;  
 wherein  $R^a$  and  $R^b$  are independently selected from H, halo,  $C_{1-4}$ -alkyl and  $-N(R^6)_2$ ;  
 wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;

wherein R<sup>1</sup> is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted phenyloxy,

benzyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>C<sub>2</sub>-alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 26 (original): Compound of Claim 25 wherein R<sup>a</sup> and R<sup>b</sup> are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected

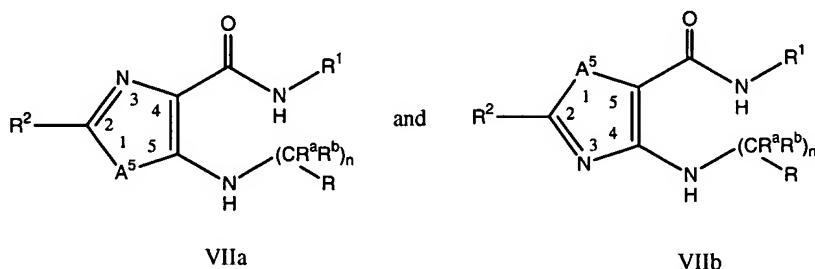
from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl,

benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and wherein R<sup>2</sup> is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable salts thereof.

Claim 27 (original): A compound of Claim 1 having the Formula



wherein A<sup>5</sup> is selected from S, O and NR<sup>6</sup>;

wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>;

wherein n is 1-2;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;

wherein R<sup>1</sup> is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>C<sub>2</sub>-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 28 (original): Compound of Claim 27 wherein R<sup>a</sup> and R<sup>b</sup> are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected

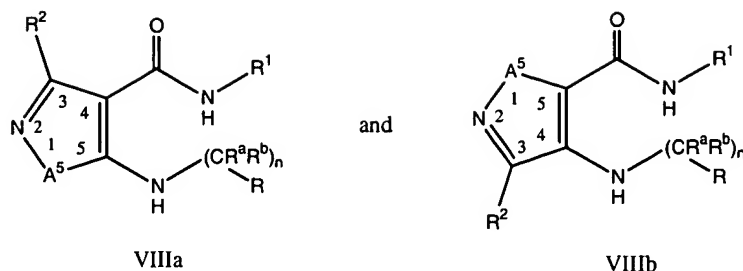
from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R<sup>2</sup> is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

Claim 29 (original): Compound of Claim 1 of the Formulas





wherein  $A^5$  is selected from S, O and  $NR^6$ ;

wherein  $R^a$  and  $R^b$  are independently selected from H, halo,  $C_{1-4}$ -alkyl and  $-N(R^6)_2$ ;

wherein  $n$  is 1-2;

wherein  $R$  is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where  $R$  is substituted with one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl and  $C_{1-6}$ -alkoxy;

wherein  $R^1$  is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted  $R^1$  is substituted with one or more substituents selected from halo,  $C_{1-6}$ -alkyl, optionally

substituted  $C_{3-6}$ -cycloalkyl, optionally substituted phenyl,  $C_{1-6}$ -haloalkoxy, optionally substituted phenoxy,

benzyl, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkylenyl, optionally substituted heteroaryl,

optionally substituted heteroaryloxy,  $C_{1-6}$ -haloalkyl, and  $C_{1-6}$ -alkoxy;

wherein  $R^2$  is one or more substituents independently selected from

H,

halo,

$C_{1-6}$ -alkyl,

$C_{1-6}$ -haloalkyl,

$C_{1-6}$ -alkoxy,

$C_{1-6}$ -haloalkoxy,

$C_{1-6}$ -carboxyalkyl,

unsubstituted or substituted aryl and

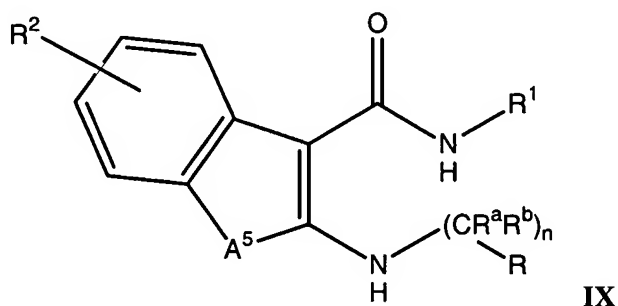
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein  $R^6$  is H or  $C_{1-6}$ -alkyl;

and pharmaceutically acceptable isomers and salts thereof.

Claim 30 (original): Compound of Claim 29 wherein  $R^a$  and  $R^b$  are H;  
 wherein  $n$  is 1-2;  
 wherein  $R$  is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where  $R$  is unsubstituted or substituted with one or more substituents selected  
 from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;  
 wherein  $R^1$  is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where  $R^1$  is unsubstituted or substituted with one or more substituents selected  
 from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenoxy, methoxy and ethoxy; and  
 wherein  $R^2$  is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected  
 from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;  
 and pharmaceutically acceptable salts thereof.

Claim 31 (original): Compound of Claim 1 of the Formula



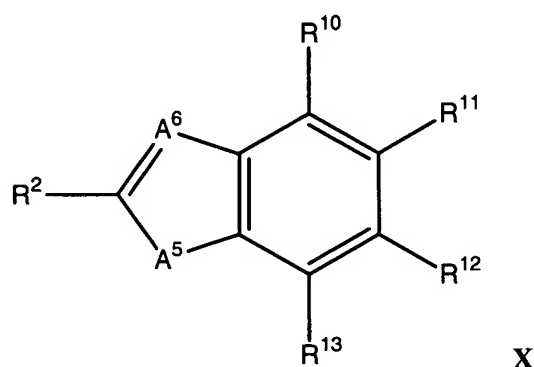
wherein  $A^5$  is selected from S, O and  $NR^6$ ;  
 wherein  $R^a$  and  $R^b$  are independently selected from H, halo,  $C_{1-4}$ -alkyl and  $-N(R^6)_2$ ;  
 wherein  $n$  is 1-2;  
 wherein  $R$  is selected from  
 a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and  
 b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl and C<sub>1-6</sub>-alkoxy;  
wherein R<sup>1</sup> is selected from unsubstituted or substituted  
aryl,  
5-6 membered heteroaryl and  
9-10 membered fused heteroaryl,  
wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, C<sub>1-6</sub>-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocycl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C<sub>1-6</sub>-haloalkyl, and C<sub>1-6</sub>-alkoxy;  
wherein R<sup>2</sup> is one or more substituents independently selected from  
H,  
halo,  
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,  
C<sub>1-6</sub>-haloalkoxy,  
C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered heteroaryl; and  
wherein R<sup>5</sup> is H or C<sub>1-6</sub>-alkyl;  
and pharmaceutically acceptable isomers and salts thereof.

Claim 32 (original): Compound of Claim 31 wherein R<sup>a</sup> and R<sup>b</sup> are H;  
wherein n is 1-2;  
wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected  
from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;  
wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and wherein  $R^2$  is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable salts thereof.

Claim 33 (original): Compound of Claim 1 of the Formula



wherein  $A^5$  is selected from S, O and  $NR^6$ ;

wherein  $A^6$  is selected from  $CR^2$  and N;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl and  $C_{1-6}$ -alkoxy;

wherein  $R^1$  is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted  $R^1$  is substituted with one or more substituents selected from halo,  $C_{1-6}$ -alkyl, optionally

substituted  $C_{3-6}$ -cycloalkyl, optionally substituted phenyl,  $C_{1-6}$ -haloalkoxy, optionally substituted phenyloxy,

benzyl, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkylenyl, optionally substituted heteroaryl,

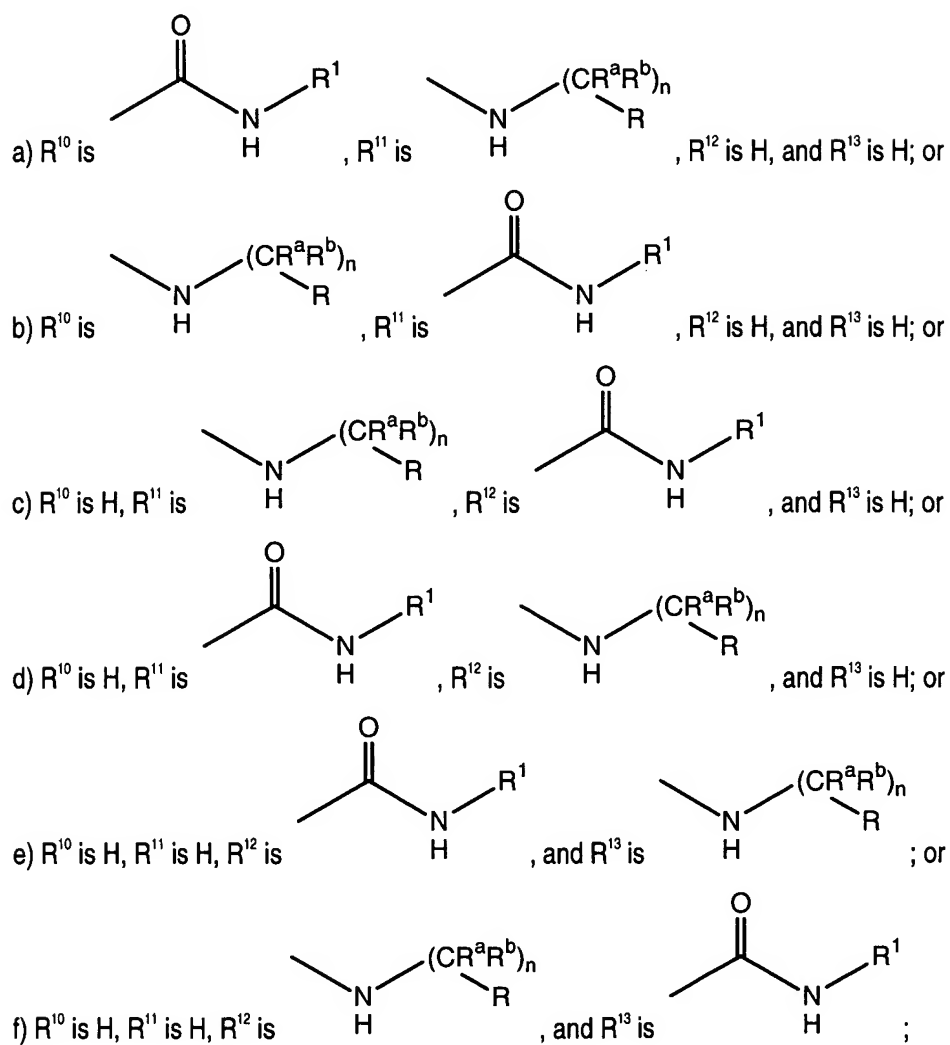
optionally substituted heteroaryloxy,  $C_{1-6}$ -haloalkyl, and  $C_{1-6}$ -alkoxy;

wherein  $R^2$  is one or more substituents independently selected from

H,  
halo,  
C<sub>1-6</sub>-alkyl,  
C<sub>1-6</sub>-haloalkyl,  
C<sub>1-6</sub>-alkoxy,  
C<sub>1-6</sub>-haloalkoxy,  
C<sub>1-6</sub>-carboxyalkyl,  
unsubstituted or substituted aryl and  
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R<sup>6</sup> is H or C<sub>1-6</sub>-alkyl;

wherein



wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, C<sub>1-4</sub>-alkyl and -N(R<sup>6</sup>)<sub>2</sub>; and

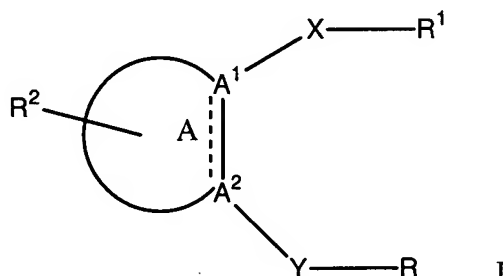
wherein n is 1-2;

and pharmaceutically acceptable isomers and salts thereof.

Claim 34 (original): Compound of Claim 33 wherein  $R^a$  and  $R^b$  are H;  
 wherein n is 1-2;  
 wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected  
 from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;  
 wherein  $R^1$  is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinoxalinyl, tetrahydroquinolyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where  $R^1$  is unsubstituted or substituted with one or more substituents selected  
 from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and  
 wherein  $R^2$  is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected  
 from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;  
 and pharmaceutically acceptable salts thereof.

Claim 35 (currently amended): A pharmaceutical composition comprising a ~~pharmaceutically acceptable~~ an inert carrier and an effective amount of a compound as in ~~from any one~~ of Claims 1-34.

Claim 36 (original): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Formula I

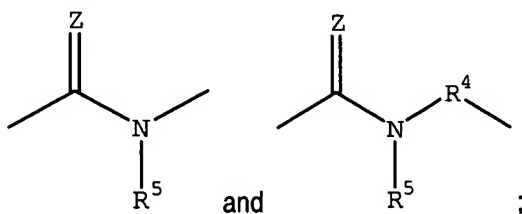


wherein each of  $A^1$  and  $A^2$  is independently C or N;

wherein ring A is selected from

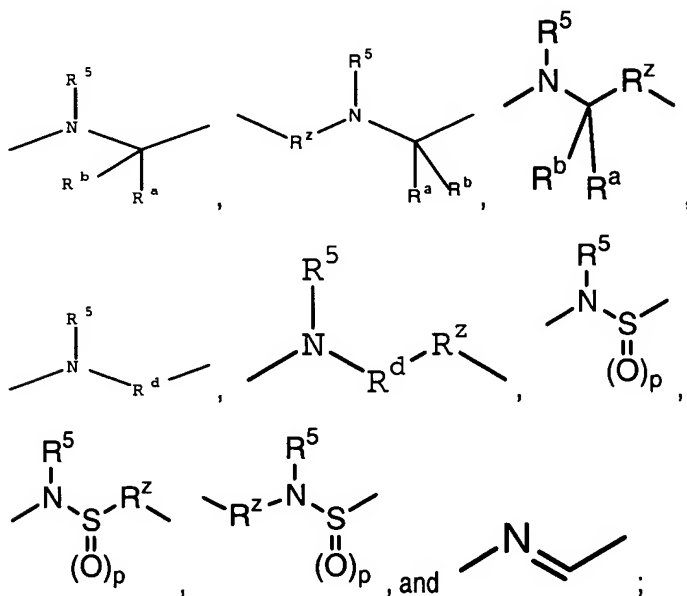
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from



wherein  $p$  is 0 to 2,

wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from H, halo, cyano, -NHR<sup>6</sup> and C<sub>1-4</sub>-alkyl substituted with R<sup>2</sup>, or

wherein R<sup>a</sup> and R<sup>b</sup> together form C<sub>3</sub>-C<sub>6</sub> cycloalkyl;

wherein R<sup>2</sup> is selected from C<sub>1</sub>-C<sub>4</sub> alkylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;

wherein R<sup>d</sup> is cycloalkyl;

wherein R is selected from

- a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R<sup>1</sup> is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub> alkenylR<sup>14</sup>), -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -COR<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted phenylalkenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R<sup>3</sup> is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and lower haloalkyl;

wherein R<sup>4</sup> is independently selected from C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkenylenyl and C<sub>2</sub>-C<sub>4</sub> alkynylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;

wherein R<sup>5</sup> is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R<sup>6</sup> is selected from H or C<sub>1-6</sub>-alkyl;

wherein R<sup>14</sup> is selected from H, phenyl, 5-6 membered heterocyclyl and C<sub>3</sub>-C<sub>6</sub> cycloalkyl;

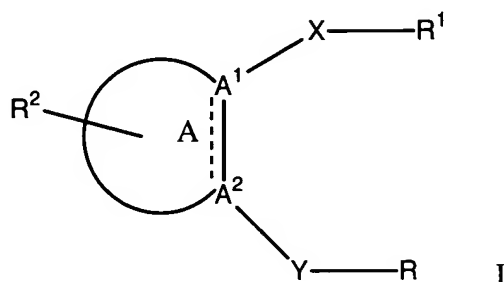
and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R<sup>1</sup> is phenyl when Y is -NCH<sub>2</sub>- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH<sub>2</sub>-.

Claim 37 (original): The method of Claim 36 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.



Claim 38 (original): A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound as in any of Formula I

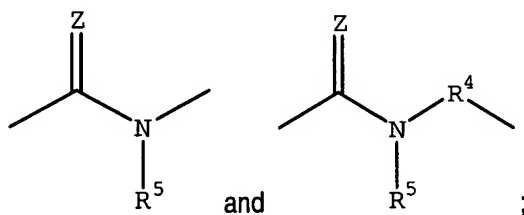


wherein each of A<sup>1</sup> and A<sup>2</sup> is independently C or N;

wherein ring A is selected from

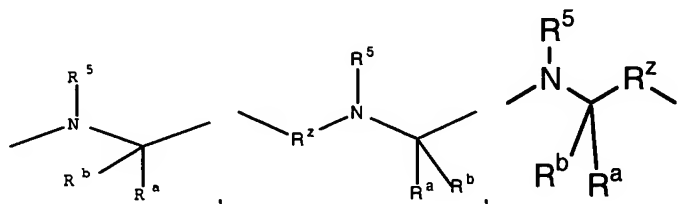
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

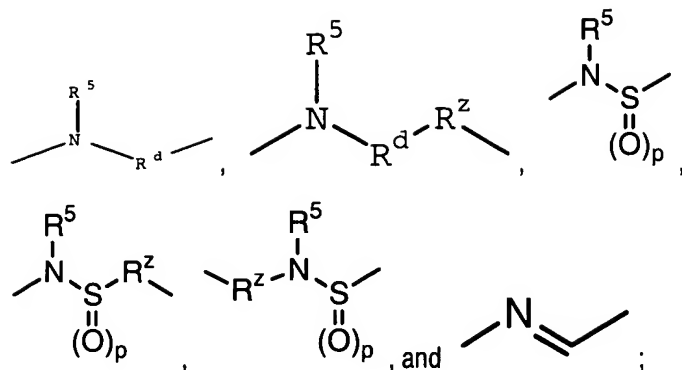
wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from





wherein p is 0 to 2,

wherein  $R^a$  and  $R^b$  are independently selected from H, halo, cyano,  $-NHR^6$  and  $C_{1-4}$ -alkyl substituted with  $R^2$ , or

wherein  $R^a$  and  $R^b$  together form  $C_3$ - $C_6$  cycloalkyl;

wherein  $R^z$  is selected from  $C_1$ - $C_4$  alkylenyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an -NH-;

wherein  $R^d$  is cycloalkyl;

wherein R is selected from

- substituted or unsubstituted 5-6 membered heterocyclyl, and
- substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with  $R^2$ , cyano, nitro, lower alkenyl and lower alkynyl;

wherein  $R^1$  is selected from

- substituted or unsubstituted 6-10 membered aryl,
- substituted or unsubstituted 5-6 membered heterocyclyl,
- substituted or unsubstituted 9-11 membered fused heterocyclyl,
- cycloalkyl, and
- cycloalkenyl,

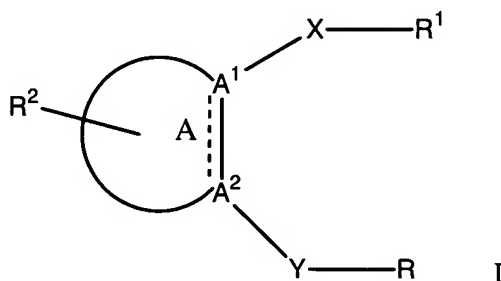
wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_1-C_4 \text{ alkylenyl}R^{14})$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with  $R^2$ , cyano, nitro, lower alkenyl and lower alkynyl;

wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-COR^3$ ,  $-CONR^3R^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally

substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;  
 wherein  $R^3$  is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl,  $C_3$ - $C_6$  cycloalkyl, and lower haloalkyl;  
 wherein  $R^4$  is independently selected from  $C_2$ - $C_4$  alkylenyl,  $C_2$ - $C_4$  alkenylenyl and  $C_2$ - $C_4$  alkynylenyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an -NH-;  
 wherein  $R^5$  is selected from H, lower alkyl, phenyl and lower aralkyl; and  
 wherein  $R^6$  is selected from H or  $C_{1-6}$ -alkyl;  
 wherein  $R^{14}$  is selected from H, phenyl, 5-6 membered heterocyclyl and  $C_3$ - $C_6$  cycloalkyl;  
 and pharmaceutically acceptable salts thereof;  
 provided A is not naphthyl when X is -C(O)NH- and when  $R^1$  is phenyl when Y is -NCH<sub>2</sub>- and when R is 4-pyridyl; and  
 further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH<sub>2</sub>-.

Claim 39 (canceled).

Claim 40 (original): A method of treating KDR-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



wherein each of  $A^1$  and  $A^2$  is independently C or N;

wherein ring A is selected from

- 5- or 6-membered partially saturated heterocyclyl,
- 5- or 6-membered heteroaryl,
- 9- or 10-membered fused partially saturated heterocyclyl,
- 9-, 10- or 11-membered fused heteroaryl;
- naphthyl, and
- 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-NH(C_1-C_4 \text{ alkylenyl}R^{14})$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with  $R^2$ , cyano, nitro, lower alkenyl and lower alkynyl;

wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ , oxo,  $-SR^3$ ,  $-CO_2R^3$ ,  $-COR^3$ ,  $-CONR^3R^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , cycloalkyl, optionally substituted phenylalkenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein  $R^3$  is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl,  $C_3-C_6$  cycloalkyl, and lower haloalkyl;

wherein  $R^4$  is independently selected from  $C_2-C_4$  alkylenyl,  $C_2-C_4$  alkenylenyl and  $C_2-C_4$  alkynylenyl, where one of the  $CH_2$  groups may be substituted with an oxygen atom or an  $-NH-$ ;

wherein  $R^5$  is selected from H, lower alkyl, phenyl and lower aralkyl; and

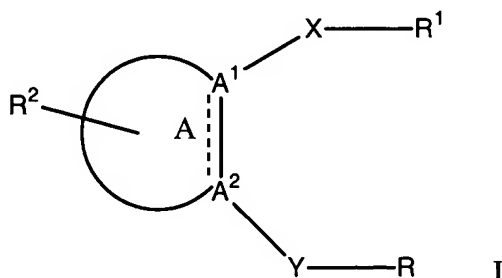
wherein  $R^6$  is selected from H or  $C_{1-6}$ -alkyl;

wherein  $R^{14}$  is selected from H, phenyl, 5-6 membered heterocyclyl and  $C_3-C_6$  cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is  $-C(O)NH-$  and when  $R^1$  is phenyl when Y is  $-NCH_2-$  and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is  $-NHCH_2-$ .

Claim 41 (original): A method of treating proliferative disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I

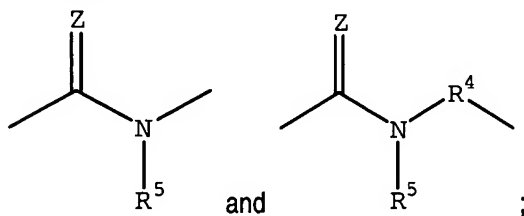


wherein each of  $A^1$  and  $A^2$  is independently C or N;

wherein ring A is selected from

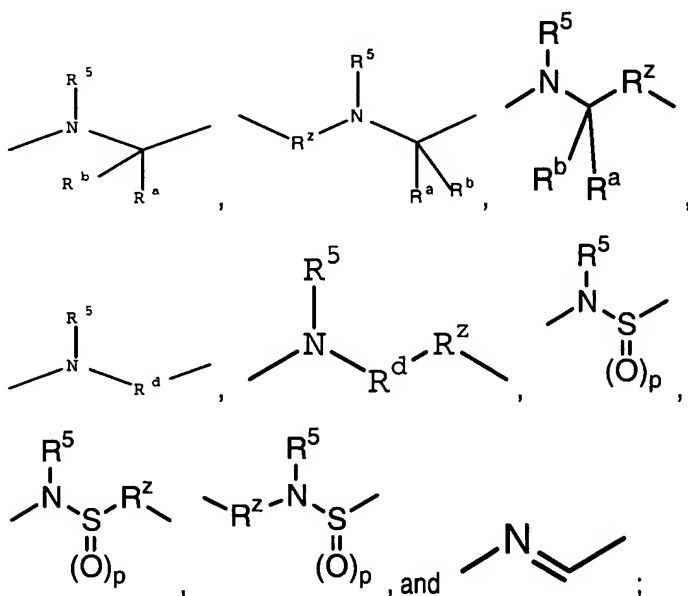
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from



wherein p is 0 to 2,

wherein  $\text{R}^a$  and  $\text{R}^b$  are independently selected from H, halo, cyano,  $\text{-NHR}^6$  and  $\text{C}_{1-4}$ -alkyl substituted with  $\text{R}^2$ , or

wherein  $\text{R}^a$  and  $\text{R}^b$  together form  $\text{C}_3\text{-C}_6$  cycloalkyl;

wherein  $\text{R}^z$  is selected from  $\text{C}_1\text{-C}_4$  alkylenyl, where one of the  $\text{CH}_2$  groups may be substituted with an oxygen atom or an  $\text{-NH-}$ ;

wherein  $\text{R}^d$  is cycloalkyl;

wherein R is selected from

- a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R<sup>1</sup> is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub> alkenylR<sup>14</sup>), -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R<sup>2</sup>, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -COR<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, cycloalkyl, optionally substituted phenylalkenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R<sup>3</sup> is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and lower haloalkyl;

wherein R<sup>4</sup> is independently selected from C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkenylenyl and C<sub>2</sub>-C<sub>4</sub> alkynylenyl, where one of the CH<sub>2</sub> groups may be substituted with an oxygen atom or an -NH-;

wherein R<sup>5</sup> is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R<sup>6</sup> is selected from H or C<sub>1-6</sub>-alkyl;

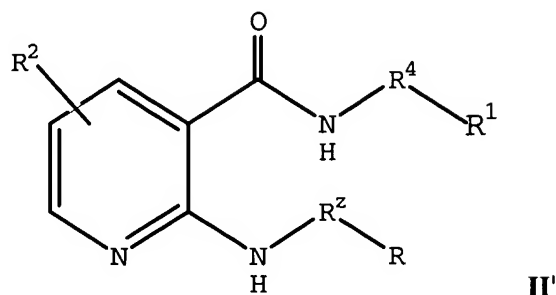
wherein R<sup>14</sup> is selected from H, phenyl, 5-6 membered heterocyclyl and C<sub>3</sub>-C<sub>6</sub> cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R<sup>1</sup> is phenyl when Y is -NCH<sub>2</sub>- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH<sub>2</sub>-.

Claim 42 (currently amended): Method of Claim 41+2 wherein the disorder is inflammation or an inflammation-related disorder.

Claim 43 (original): A compound of Claim 1 having Formula II'



wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, oxo, hydroxy,

C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;

wherein R¹ is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

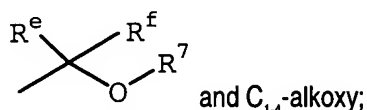
9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1</sub>C<sub>4</sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>C<sub>4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, -NHC(O)NH<sub>2</sub>, alkylcarbonylamino, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-



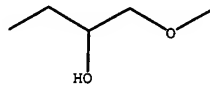
alkoxy- $C_{1,3}$ -alkoxy,  $C_{1,4}$ -alkoxycarbonyl,  $C_{1,4}$ -alkoxycarbonylamino- $C_{1,4}$ -alkyl,  $C_{1,4}$ -hydroxyalkyl,



wherein  $R^2$  is one or more substituents independently selected from

H,  
halo,  
hydroxy,  
amino,  
 $C_{1,6}$ -alkyl,  
 $C_{1,6}$ -haloalkyl,  
 $C_{1,6}$ -alkoxy,  
 $C_{1,2}$ -alkylamino,  
aminosulfonyl,  
 $C_{3,6}$ -cycloalkyl,  
cyano,  
 $C_{1,2}$ -hydroxyalkyl,  
nitro,  
 $C_{2,3}$ -alkenyl,  
 $C_{2,3}$ -alkynyl,  
 $C_{1,6}$ -haloalkoxy,  
 $C_{1,6}$ -carboxyalkyl,  
5-6-membered heterocyclyl- $C_{1,6}$ -alkylamino,  
unsubstituted or substituted phenyl and  
unsubstituted or substituted 5-6 membered heterocyclyl;

wherein  $R^4$  is selected from a direct bond,  $C_{1,4}$ -alkyl, and



wherein  $R^2$  is selected from  $C_{1,2}$ -alkyl,  $C_{2,6}$ -branched alkyl,  $C_{2,4}$ -branched haloalkyl, amino- $C_{1,4}$ -alkyl and  $C_{1,2}$ -alkylamino- $C_{1,2}$ -alkyl;

wherein  $R^e$  and  $R^f$  are independently selected from H and  $C_{1,2}$ -haloalkyl; and

wherein  $R^7$  is selected from H,  $C_{1,3}$ -alkyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1,3}$ -alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- $C_{1,3}$ -alkyl,  $C_{1,3}$ -alkylamino- $C_{1,3}$ -alkyl,  $C_{1,3}$ -alkoxy- $C_{1,2}$ -alkyl and  $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkyl;

provided  $R^2$  is not H, or provided  $R^1$  is not heteroaryl or aryl, or provided R is substituted with optionally substituted heterocyclyl- $C_{1,6}$ -alkoxy, optionally substituted heterocyclyl- $C_{1,6}$ -alkylamino, optionally substituted

heterocyclyl- $C_{1-6}$ -alkyl,  $C_{1-6}$ -alkylamino- $C_{2-4}$ -alkynyl,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy- $C_{1-6}$ -alkoxy, or optionally substituted heterocyclyl- $C_{2-4}$ -alkynyl, or provided  $R^1$  is substituted with optionally substituted phenyloxy, optionally substituted 5-6 membered heterocycloxy, optionally substituted 5-6 membered heterocyclylsulfonyl, optionally substituted 5-6 membered heterocyclylamino, optionally substituted 5-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl- $C_{1-4}$ -alkylcarbonyl,  $C_{1-3}$ -alkylamino- $C_{1-3}$ -alkoxy, or  $C_{1-3}$ -alkylamino- $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkoxy; further provided  $R$  is not 3-pyridyl when  $R^5$  is  $CH_2$ ;

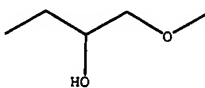
and pharmaceutically acceptable isomers and derivatives thereof.

Claim 44 (original): Compound of Claim 43 wherein  $R$  is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinoxalinyl, where  $R$  is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein  $R^1$  is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydroisoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydrobenzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where  $R^1$  is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-

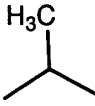
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein  $R^2$  is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

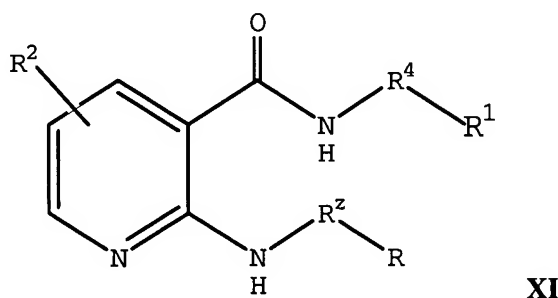
wherein  $R^4$  is selected from a direct bond, ethyl, butyl, and



; and

wherein  $R^2$  is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 45 (original): A compound of Claim 1 having Formula XI



**XI**

wherein R is selected from

- unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl,  $C_{1-6}$ -alkoxy, optionally substituted heterocyclyl- $C_{1-6}$ -alkoxy, optionally substituted heterocyclyl- $C_{1-6}$ -alkylamino, optionally substituted heterocyclyl- $C_{1-6}$ -alkyl,  $C_{1-6}$ -alkylamino- $C_{2-4}$ -alkynyl,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy- $C_{1-6}$ -alkoxy, and optionally substituted heterocyclyl- $C_{2-4}$ -alkynyl;

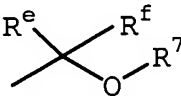
wherein  $R^1$  is a ring selected from unsubstituted or substituted

4-6 membered saturated or partially un-saturated monocyclic heterocyclyl,

9-10 membered saturated or partially un-saturated bicyclic heterocyclyl, and

13-14 membered saturated or partially un-saturated tricyclic heterocyclyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1</sub>C<sub>4</sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocycliloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>C<sub>4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclisulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl,

C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,  and C<sub>1-4</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-2</sub>-alkylamino,

aminosulfonyl,

C<sub>3-6</sub>-cycloalkyl,

cyano,

C<sub>1-2</sub>-hydroxyalkyl,

nitro,

C<sub>2-3</sub>-alkenyl,

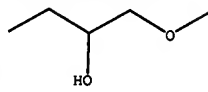
C<sub>2-3</sub>-alkynyl,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

5-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino,

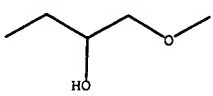
unsubstituted or substituted phenyl and  
unsubstituted or substituted 5-6 membered heterocyclyl;

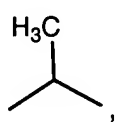


wherein  $R^4$  is selected from a direct bond,  $C_{1-4}$ -alkyl, and ;  
wherein  $R^2$  is selected from  $C_{1-2}$ -alkyl,  $C_{2-6}$ -branched alkyl,  $C_{2-4}$ -branched haloalkyl, amino- $C_{1-4}$ -alkyl and  $C_{1-2}$ -alkylamino- $C_{1-2}$ -alkyl;  
wherein  $R^6$  and  $R^1$  are independently selected from H and  $C_{1-2}$ -haloalkyl; and  
wherein  $R^7$  is selected from H,  $C_{1-3}$ -alkyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1-3}$ -alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- $C_{1-3}$ -alkyl,  $C_{1-3}$ -alkoxy- $C_{1-2}$ -alkyl and  $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkyl;  
and pharmaceutically acceptable isomers and derivatives thereof.

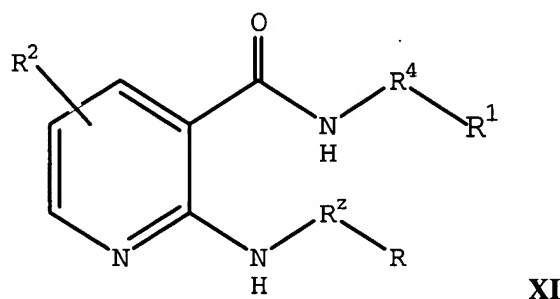
Claim 46 (original): A compound of Claim 45 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein  $R^1$  is selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1H-indolyl, dihydro-benzimidazolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, and tetrahydroquinolyl, where  $R^1$  is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-

isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methylpyrrol-2-ylmethoxy, 1-isopropylpyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein  $R^2$  is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein  $R^4$  is selected from a direct bond, ethyl, butyl, and ; and

wherein  $R^z$  is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 47 (original): A compound of Claim 1 having Formula XI



wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl,  $C_{1-6}$ -alkoxy, optionally substituted heterocyclyl- $C_{1-6}$ -alkoxy, optionally substituted heterocyclyl- $C_{1-6}$ -alkylamino, optionally substituted heterocyclyl- $C_{1-6}$ -alkyl,  $C_{1-6}$ -alkylamino- $C_{2-4}$ -alkynyl,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy- $C_{1-6}$ -alkoxy, and optionally substituted heterocyclyl- $C_{2-4}$ -alkynyl;

wherein  $R^1$  is selected from unsubstituted or substituted aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1</sub>C<sub>4</sub>-alkylenyl, C<sub>1,2</sub>-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocycliloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>C<sub>4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1,4</sub>-alkylcarbonyl, C<sub>1,2</sub>-haloalkyl, C<sub>1,4</sub>-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C<sub>1,2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1,4</sub>-alkylcarbonyl, C<sub>1,3</sub>-alkylamino-C<sub>1,3</sub>-alkyl, C<sub>1,3</sub>-alkylamino-C<sub>1,3</sub>-alkoxy, C<sub>1,3</sub>-alkylamino-C<sub>1,3</sub>-alkoxy-C<sub>1,3</sub>-alkoxy, C<sub>1,4</sub>-alkoxycarbonyl, C<sub>1,4</sub>-

alkoxycarbonylamino-C<sub>1,4</sub>-alkyl, C<sub>1,4</sub>-hydroxyalkyl,  and C<sub>1,4</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

halo,

hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1,2</sub>-alkylamino,

aminosulfonyl,

C<sub>3-6</sub>-cycloalkyl,

cyano,

C<sub>1,2</sub>-hydroxyalkyl,

nitro,

C<sub>2,3</sub>-alkenyl,

C<sub>2,3</sub>-alkynyl,

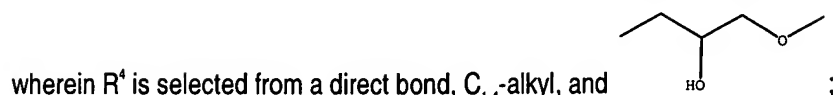
C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,

5-6-membered heterocyclyl-C<sub>1,6</sub>-alkylamino,

unsubstituted or substituted phenyl and

unsubstituted or substituted 5-6 membered heterocyclyl;



wherein R<sup>2</sup> is selected from C<sub>1-2</sub>-alkyl, C<sub>2-6</sub>-branched alkyl, C<sub>2-4</sub>-branched haloalkyl, amino-C<sub>1-4</sub>-alkyl and C<sub>1-2</sub>-alkylamino-C<sub>1-2</sub>-alkyl;

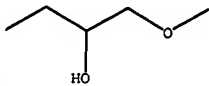
wherein R<sup>6</sup> and R<sup>1</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl; and

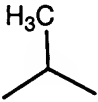
wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl; and pharmaceutically acceptable isomers and derivatives thereof.

Claim 48 (original): A compound of Claim 47 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothieryl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-

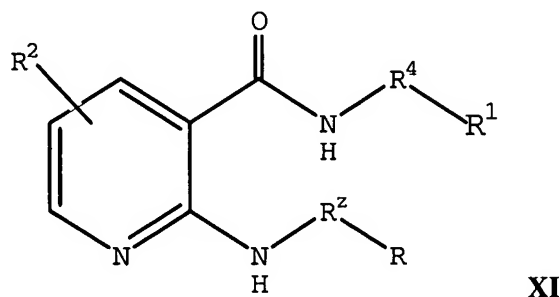


di(trifluoromethyl)-1-(piperidinyloxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R<sup>2</sup> is selected from chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and ; and

wherein R<sup>2</sup> is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 49 (original): A compound of Claim 1 having Formula XI



wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;

wherein R<sup>1</sup> is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkylenyl, C<sub>1-2</sub>-

haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted 4-6

membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally

substituted phenyloxy, optionally substituted 4-6 membered heterocycliloxy, optionally substituted 4-6

membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally

substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl,

optionally substituted 5-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro,

amino, hydroxy, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-

alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-

alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,  and C<sub>1-4</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

C<sub>1-6</sub>-alkoxy,

C<sub>1-2</sub>-alkylamino,

aminosulfonyl,

C<sub>3-6</sub>-cycloalkyl,

cyano,

C<sub>1-2</sub>-hydroxyalkyl,

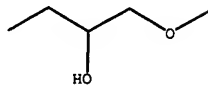
nitro,

C<sub>2-3</sub>-alkenyl,

C<sub>2-3</sub>-alkynyl,

C<sub>1-6</sub>-haloalkoxy,

C<sub>1-6</sub>-carboxyalkyl,  
 5-6-membered heterocyclyl-C<sub>1-6</sub>-alkylamino,  
 unsubstituted or substituted phenyl and  
 unsubstituted or substituted 5-6 membered heterocyclyl;



wherein R<sup>4</sup> is selected from a direct bond, C<sub>1-4</sub>-alkyl, and

wherein R<sup>2</sup> is selected from C<sub>1-2</sub>-alkyl, C<sub>2-6</sub>-branched alkyl, C<sub>2-4</sub>-branched haloalkyl, amino-C<sub>1-4</sub>-alkyl and C<sub>1-2</sub>-alkylamino-C<sub>1-2</sub>-alkyl;

wherein R<sup>6</sup> and R<sup>1</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl; and

wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-3</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-2</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl;

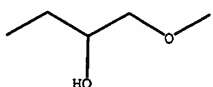
provided R<sup>1</sup> is substituted with optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, or C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy; further provided R is not 3-pyridyl when R<sup>5</sup> is CH<sub>2</sub>;

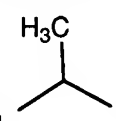
and pharmaceutically acceptable isomers and derivatives thereof.

Claim 50 (original): A compound of Claim 49 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R<sup>1</sup> is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothieryl, benzofuryl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R<sup>1</sup> is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-

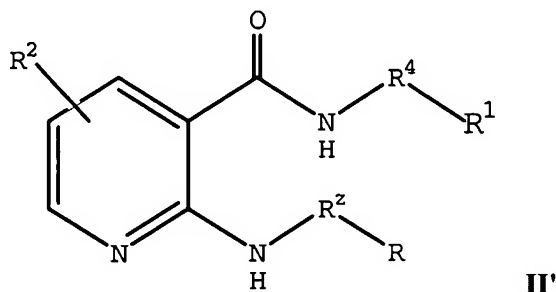
4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-4-ylmethoxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R<sup>2</sup> is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R<sup>4</sup> is selected from a direct bond, ethyl, butyl, and ; and

wherein R<sup>2</sup> is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

Claim 51 (original): A compound of Claim 1 having Formula II'



wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered non-nitrogen-containing heterocyclyl, and

b) unsubstituted or substituted 9- or 10-membered fused partially unsaturated heterocyclyl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-haloalkyl, C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkoxy, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkylamino, optionally substituted heterocyclyl-C<sub>1-6</sub>-alkyl, C<sub>1-6</sub>-alkylamino-C<sub>2-4</sub>-alkynyl, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy, C<sub>1-6</sub>-alkylamino-C<sub>1-6</sub>-alkoxy-C<sub>1-6</sub>-alkoxy, and optionally substituted heterocyclyl-C<sub>2-4</sub>-alkynyl;

wherein R<sup>1</sup> is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally

substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkylenyl, C<sub>1-2</sub>-

haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted 4-6

membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally

substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6

membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally

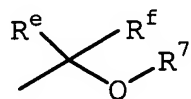
substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl,

optionally substituted 5-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro,

amino, hydroxy, oxo, -NHC(O)NH<sub>2</sub>, alkylcarbonylamino, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl,

halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-

alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,



and C<sub>1-4</sub>-alkoxy;

wherein R<sup>2</sup> is one or more substituents independently selected from

H,

halo,

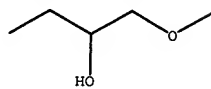
hydroxy,

amino,

C<sub>1-6</sub>-alkyl,

C<sub>1-6</sub>-haloalkyl,

$C_{1-6}$ -alkoxy,  
 $C_{1-2}$ -alkylamino,  
 aminosulfonyl,  
 $C_{3-6}$ -cycloalkyl,  
 cyano,  
 $C_{1-2}$ -hydroxyalkyl,  
 nitro,  
 $C_{2-3}$ -alkenyl,  
 $C_{2-3}$ -alkynyl,  
 $C_{1-6}$ -haloalkoxy,  
 $C_{1-6}$ -carboxyalkyl,  
 5-6-membered heterocyclyl- $C_{1-6}$ -alkylamino,  
 unsubstituted or substituted phenyl and  
 unsubstituted or substituted 5-6 membered heterocyclyl;



wherein  $R^4$  is selected from a direct bond,  $C_{1-4}$ -alkyl, and

wherein  $R^2$  is selected from  $C_{1-2}$ -alkyl,  $C_{2-6}$ -branched alkyl,  $C_{2-4}$ -branched haloalkyl, amino- $C_{1-4}$ -alkyl and  $C_{1-2}$ -alkylamino- $C_{1-2}$ -alkyl;

wherein  $R^6$  and  $R^1$  are independently selected from H and  $C_{1-2}$ -haloalkyl; and

wherein  $R^7$  is selected from H,  $C_{1-3}$ -alkyl, optionally substituted phenyl- $C_{1-3}$ -alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- $C_{1-3}$ -alkyl,  $C_{1-3}$ -alkylamino- $C_{1-3}$ -alkyl,  $C_{1-3}$ -alkoxy- $C_{1-2}$ -alkyl and  $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkyl;

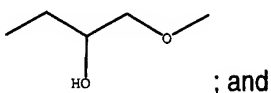
and pharmaceutically acceptable isomers and derivatives thereof.

Claim 52 (original): A compound of Claim 50 wherein R is selected from 2,3-dihydrobenzofuryl, and tetrahydropyran, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein  $R^1$  is selected from phenyl, tetrahydronaphthyl, indenyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where  $R^1$  is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl,

Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinyloxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-4-ylmethoxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein  $R^2$  is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

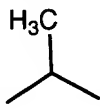
furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein  $R^4$  is selected from a direct bond, ethyl, butyl, and



; and

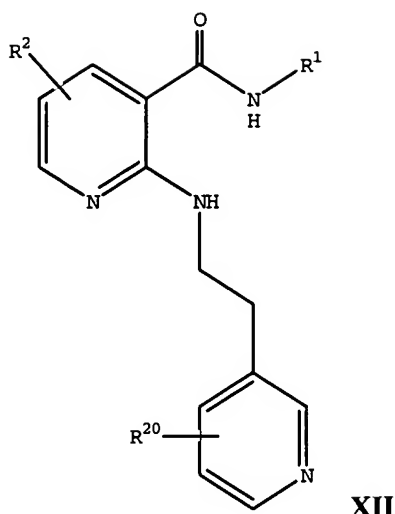
wherein  $R^2$  is selected from methylenyl, ethylenyl,



, and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.

Claim 53 (original): A compound of Claim 1 having Formula XII



wherein R¹ is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C<sub>1-6</sub>-alkyl, optionally substituted C<sub>3-6</sub>-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1</sub>-C<sub>4</sub>-alkylenyl, C<sub>1-2</sub>-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted 4-6 membered heterocyclyl-C<sub>2</sub>-C<sub>4</sub>-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>4</sub>-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-2</sub>-haloalkyl, C<sub>1-4</sub>-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C<sub>1-2</sub>-alkylsulfonyl, halosulfonyl, C<sub>1-4</sub>-alkylcarbonyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, C<sub>1-4</sub>-alkoxycarbonyl, C<sub>1-4</sub>-

alkoxycarbonylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-hydroxyalkyl,  and C<sub>1-4</sub>-alkoxy;

wherein R² is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,



$C_{1-6}$ -alkyl,  
 $C_{1-6}$ -haloalkyl,  
 $C_{1-6}$ -alkoxy,  
 $C_{1,2}$ -alkylamino,  
 aminosulfonyl,  
 $C_{3-6}$ -cycloalkyl,  
 cyano,  
 $C_{1,2}$ -hydroxyalkyl,  
 nitro,  
 $C_{2,3}$ -alkenyl,  
 $C_{2,3}$ -alkynyl,  
 $C_{1-6}$ -haloalkoxy,  
 $C_{1-6}$ -carboxyalkyl,  
 5-6-membered heterocyclyl- $C_{1-6}$ -alkylamino,  
 unsubstituted or substituted phenyl and  
 unsubstituted or substituted 5-6 membered heterocyclyl;

wherein  $R^e$  and  $R^f$  are independently selected from H and  $C_{1,2}$ -haloalkyl;

wherein  $R^7$  is selected from H,  $C_{1,3}$ -alkyl, optionally substituted phenyl, optionally substituted phenyl- $C_{1,3}$ -alkyl,  
 optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- $C_{1,3}$ -alkyl,  
 $C_{1,3}$ -alkoxy- $C_{1,2}$ -alkyl and  $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkoxy- $C_{1,3}$ -alkyl; and

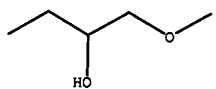
wherein  $R^{20}$  is one or more substituents selected from halo, amino, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -haloalkyl,  $C_{1-6}$ -alkoxy,  
 optionally substituted heterocyclyl- $C_{1-6}$ -alkoxy, optionally substituted heterocyclyl- $C_{1-6}$ -alkylamino, optionally  
 substituted heterocyclyl- $C_{1-6}$ -alkyl,  $C_{1-6}$ -alkylamino- $C_{2,4}$ -alkynyl,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylamino- $C_{1-6}$ -  
 alkoxy- $C_{1-6}$ -alkoxy, and optionally substituted heterocyclyl- $C_{2,4}$ -alkynyl;  
 and pharmaceutically acceptable isomers and derivatives thereof.

Claim 54 (original): Compound of Claim 53 wherein  $R^1$  is selected from phenyl, tetrahydronaphthyl, indanyl,  
 indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-  
 dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl,  
 naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-  
 triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl,  
 benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where  $R^1$  is unsubstituted or  
 substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl,  
 Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl,

morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-ylmethoxy, piperidin-4-ylmethoxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein  $R^2$  is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein  $R^4$  is selected from a direct bond, ethyl, butyl, and



wherein  $R^2$  is selected from methylenyl, ethylenyl, , and aminoethylenyl; and

wherein  $R^{20}$  is one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl,

trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy;

and pharmaceutically acceptable derivatives thereof.

Claim 55 (original): Compound of Claim 1 and pharmaceutically acceptable derivatives thereof selected from

N-[3-(isopropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Isoquinolyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-Isopropylphenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(Methylpropyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;  
 {2-[(2-(3-Pyridyl)ethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;  
 {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]carboxamide;  
 N-[5-(tert-Butyl)isoxazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[5-(tert-Butyl)-1-methylpyrazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)(1,3-thiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[5-(tert-Butyl)(1,3,4-thiadiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(4-Hydroxybutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[2-(4-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 5-Bromo-N-[2-(4-chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;  
 N-[2-(4-Phenoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;  
 N-[2-(4-Methoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(4-Hydroxy-3-ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(4-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(4-(tert-Butyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3-(Trifluoromethyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3-Ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3,4-Dimethylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(1,3-Benzodioxol-5-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(4-Methylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(4-Hydroxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(4-Bromophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(4-(Fluorosulfonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(3,5-(Dimethoxy)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(2,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
 N-[2-(2-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

*N*-[2-(2-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
*N*-[2-(4-(Aminosulphonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
*N*-[2-(2-Thienyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
*N*-[2-(Pyridin-2-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
*N*-[2-(Pyridin-3-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
*N*-[2-(Pyridin-4-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
*N*-(4-Phenylbutyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
*N*-(2-Hydroxy-3-phenoxypropyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;  
[6-Chloro-5-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)]-*N*-[4-(isopropyl)phenyl]carboxamide;  
[5-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)]-*N*-[4-(isopropyl)phenyl]carboxamide;  
2-[(Pyridin-4-ylmethyl)amino]-*N*-[4-*tert*-butyl-3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl](3-pyridyl)carboxamide;  
*N*-(3,4-Dichlorophenyl){6-[(2-morpholin-4-ylethyl)amino]-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[4-(Morpholin-4-ylmethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(4-{2-[(*tert*-Butoxy)carbonylamino]ethyl}phenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[4-(2-Aminoethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[4-(*tert*-Butyl)-3-nitrophenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[3-Amino-4-(*tert*-butyl)phenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[4-(Isopropyl)phenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-(3-Aminosulfonyl-4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[3-[(4-Methylpiperazinyl)sulfonyl]phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[4-(1,1,2,2,2-Pentafluoroethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[4-(1,1,2,2,3,3,4,4,4-Nonafluorobutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
*N*-[4-(Isopropyl)phenyl]{2-[(2-(1,2,4-triazolyl)ethyl)amino](3-pyridyl)}carboxamide;  
(2-[(2-(2-Pyridylamino)ethyl)amino](3-pyridyl))-*N*-[3-(trifluoromethyl)phenyl]carboxamide;  
(2-[(1-(2-Pyridyl)pyrrolidin-3-yl)amino](3-pyridyl))-*N*-[3-(trifluoromethyl)phenyl]carboxamide;  
2-[(Pyridin-4-ylmethyl)-amino]-*N*-(3-trifluoromethyl-phenyl)-nicotinamide  
(2-[(4-Pyridylmethyl)amino](3-pyridyl))-*N*-(8-quinolyl)carboxamide hydrochloride;  
*N*-[4-(4-Chlorophenoxy)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
(2-[(4-Pyridylmethyl)amino](3-pyridyl))-*N*-(2,3,4-trifluorophenyl)carboxamide hydrochloride;  
*N*-(2-Naphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
*N*-(2-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
(2-[(4-Pyridylmethyl)amino](3-pyridyl))-*N*-(5,6,7,8-tetrahydronaphthyl)carboxamide hydrochloride;  
*N*-(2*H*-Benzo[3,4-*d*]1,3-dioxolen-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
*N*-Naphthyl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-[3-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-Indan-2-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-[4-(tert-Butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(Methylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 Methylphenyl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-[4-trifluoromethoxy]phenylcarboxamide;  
 N-(4-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-Butylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-Iodophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[3-(Hydroxyethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(3-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 Ethyl 2-methyl-5-[3-({2-[(4-pyridylmethyl)amino](3-pyridyl)}carbonylamino)phenyl]furan-3-carboxylate;  
 N-(3-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(6-Ethyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(6-Propyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(3-Hydroxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(Methylethyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[3,5-bis(Trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-[4-Chloro-3-(trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(3-Chlorophenyl){2-[(2-(4-pyridyl)ethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(4-Phenoxyphenyl){2-[(2-(2-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;  
 2-[(Benzo[b]thiophen-3-ylmethyl)amino](3-pyridyl)-N-(4-phenoxyphenyl)carboxamide;  
 N-(4-Phenoxyphenyl){2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(Methylsulfonyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(1-Acetylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-Indolin-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-Indol-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-Indol-5-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-Indol-7-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[3-(tert-Butyl)pyrazol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Phenylpyrazol-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-{2-[2-(dimethylamino)ethoxy]-5-(tert-butyl)phenyl}{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)-3-(4-methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[3-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}formamide;  
 N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;  
 N-[1-(2-Piperidylethyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[1-(2-Piperidylacetyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[3,3-Dimethyl-1-(1-methyl(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(3,3-Dimethylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[3-(1-Methyl-(4-piperidyl))indol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(1,1-Dimethyl-3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)phenyl]{2-[(2-[(1-methyl(4-piperidyl))-methoxy](4-pyridyl)methyl)amino](3-pyridyl)}carboxamide;  
 N-(4-Bromo-2-fluorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)phenyl]{2-[(2-chloro(4-pyridyl)methyl)amino](3-pyridyl)}carboxamide;  
 {2-[(2-[3-(Dimethylamino)prop-1-ynyl](4-pyridyl)methyl)amino](3-pyridyl))-N-[4-(tert-butyl)phenyl]carboxamide;  
 (2-[(2-Methoxy(4-pyridyl)methyl)amino](3-pyridyl))-N-[4-(methylethyl)phenyl]carboxamide;  
 N-[3-[3-(Dimethylamino)propyl]-5-(trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)-3-(3-piperidylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)-3-(3-pyrrolidinylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[3-((1E)-4-Pyrrolidinylbut-1-enyl)-4-(tert-butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)-3-(3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[1-(2-Morpholin-4-ylethyl)indol-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)phenyl]{2-[(pyrimidin-4-ylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-Chlorophenyl){2-[(pyrimidin-4-ylmethyl)amino](3-pyridyl)}carboxamide;  
 {2-[(Pyrimidin-4-ylmethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;  
 N-[4-(Isopropyl)phenyl]{4-[(4-pyridylmethyl)amino]pyrimidin-5-yl}carboxamide;  
 (2-[(2-[2-(Dimethylamino)ethoxy]ethoxy)(4-pyridyl)methyl]amino)(3-pyridyl))-N-[4-(tert-butyl)phenyl]carboxamide;  
 {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-[4-[2,2,2-trifluoro-1-(2-piperidylethoxy)-1-(trifluoromethyl)ethyl]phenyl]carboxamide;  
 (2-[(2-[2-(Dimethylamino)ethoxy]ethoxy)(4-pyridyl)methyl]amino)-6-fluoro(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;  
 N-[4-(tert-Butyl)phenyl]{6-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

{6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl))-N-[4-(isopropyl)phenyl]carboxamide;  
 {6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;  
 N-(1-Bromo(3-isoquinolyl)){6-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl))-carboxamide;  
 N-(4-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(4-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(3-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(4-Cyclohexylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(4-Imidazol-1-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-Morpholin-4-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 N-(4-Cyanonaphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;  
 {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-[4-(trifluoromethyl)phenyl]carboxamide hydrochloride;  
 Methyl-4-[(2-[(4-pyridylmethyl)amino]-3-pyridyl)carbonylamino]benzoate hydrochloride;  
 N-[4-(Isopropyl)phenyl]{2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;  
 N-[4-(tert-Butyl)phenyl]{2-[(6-quinolylmethyl)amino](3-pyridyl)}carboxamide;  
 {2-[(6-quinolylmethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;  
 N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;  
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;  
 N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;  
 N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl))-carboxamide;  
 N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;  
 N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl))-carboxamide;  
 N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 {6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl))-N-(3-fluorophenyl)carboxamide;  
 N-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;  
 N-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;  
 N-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;  
 2-[[2-(1-Isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[[2-(1-isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl]-nicotinamide;  
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2,3-dihydro-benzofuran-5-ylmethyl)-amino]-nicotinamide;  
 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;  
 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-methylpiperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;  
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2-[2-(1-Methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[[2-ethylpyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[(2-[2-(1-methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2-[2-(1-Methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
 N-(4-Pentafluoroethyl-phenyl)-2-[[2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[[2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-(2-pyridin-4-yl-ethylamino)-nicotinamide;  
 N-[3-(4-Methyl-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3-(4-Boc-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 2-[(2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(1-Boc-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;



N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;  
 N-[3,3-Dimethyl-1-(1-Boc-pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;  
 2-[[2-(3-Morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
 (S) 2-[[2-(1-Methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
 N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(3-morpholin-4-yl-propylamino)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[[2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 2-[[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;  
 2-[[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;  
 2-[[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
 N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 2-[[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;  
 2-[[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;  
 2-[[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-tert-butyl-phenyl)-nicotinamide;  
 (R) N-(4-tert-Butyl-phenyl)-2-[[2-(1-methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

(R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

(R) N-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(1-Methyl-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(1-Methyl-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-tert-Butyl-4-(1-Boc-pyrrolidin-2-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(4-trifluoromethyl-phenyl)-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(3-trifluoromethyl-phenyl)-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(4-tert-butyl-phenyl)-nicotinamide;

2-((2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-N-(3-tert-butyl-isoxazol-5-yl)-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-((2-[3-(1-methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl)-amino)-nicotinamide;

2-[(Pyridin-4-ylmethyl)-amino]-N-(3,9,9-trimethyl-2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluoren-6-yl)-nicotinamide;

N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-[[2-(3-morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino]-nicotinamide;

2-[[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

2-[[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-((2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl)-amino)-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-((2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl)-amino)-nicotinamide;

2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-[3-(1-methyl-piperidin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;

N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

2-[(Pyridin-4-ylmethyl)-amino]-N-(2,2,4-trimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-nicotinamide;

N-(4-Acetyl-2,2-dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(2,2-Dimethyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[[2-(1-Benzhydryl-azetidin-3-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-tert-butyl-phenyl)-nicotinamide.  
 N-(4,4-Dimethyl-1-oxo-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(3-tert-Butyl-isoxazol-5-yl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(3-trifluoromethylphenyl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2,3-Dihydro-benzofuran-6-ylmethyl)-amino]-N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-  
 nicotinamide;  
 (R) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-  
 nicotinamide;  
 (S) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[4-tert-Butyl-3-(1-methyl-piperidin-4-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3-(1-Methyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[4-Pentafluoroethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[4-Trifluoromethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 (S) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(4-tert-Butyl-phenyl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide; N-(3-  
 Trifluoromethyl-phenyl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 Cu) N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide was  
 prepared with pyridine and TEA at 90C.  
 N-[3-(3-Piperidin-1-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;  
 N-[3-(3-Morpholin-4-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-nicotinamide;  
 N-[4-tert-Butyl-3-[2-(1-Boc-piperidin-4-yl)-ethyl]-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;  
 N-[4-tert-Butyl-3-(1-methyl-azetidin-3-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(3,3-Dimethyl-1,1-dioxo-2,3-dihydro-1H-1•-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[1,1,4,4-Tetramethyl-1,2,3,4-tetrahydro-naphth-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl]-nicotinamide;  
 N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-  
 nicotinamide;

N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino]-  
 nicotinamide;  
 N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl)-  
 amino]-nicotinamide;  
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 N-[3,3-Dimethyl-1-(piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-  
 nicotinamide;  
 N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;  
 N-[3,3-Dimethyl-1-(pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-  
 nicotinamide;  
 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;  
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(2-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;  
 N-(4-Pentafluoroethyl-phenyl)-2-[(pyrimidin-4-ylmethyl)-amino]-nicotinamide;  
 2-[[2-(Azetidin-3-yloxy)-pyridin-4-ylmethyl]-amino]-N-(4-tert-butyl-phenyl)nicotinamide;  
 N-(2,3,3-Trimethyl-1,1-dioxo-2,3-dihydro-1H-1'-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;  
 N-[3,3-Dimethyl-1,1-dioxo-2-(2-piperidin-1-yl-ethyl)-2,3-dihydro-1H-1'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-  
 amino]-nicotinamide; and  
 N-[2-(2-Dimethylamino-ethyl)-3,3-dimethyl-1,1-dioxo-2,3-dihydro-1H-1'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-  
 ylmethyl)-amino]-nicotinamide.

Claim 56 (original): Compound of Claim 1 wherein ring A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolyl, pyrazolyl, triazolyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl.

Claim 57 (original): Compound of Claim 1 wherein R is selected from substituted or unsubstituted, saturated or partially saturated 5-6 membered heterocyclyl, and substituted or unsubstituted saturated or partially saturated fused 9-, 10- or 11-membered heterocyclyl.

Claim 58 (original): Compound of Claim 1 wherein R<sup>1</sup> is selected from

- a) substituted or unsubstituted saturated or partially saturated 5-6 membered heterocyclyl, and
- b) substituted or unsubstituted saturated or partially saturated 9-11 membered fused heterocyclyl.

Claim 59 (original): Compound of Claim 58 wherein A is pyridyl.

Claim 60 (original): Compound of Claim 1 wherein R<sup>1</sup> is selected from non-nitrogen-containing heteroaryl.

Claim 61 (original): Compound of Claim 60 wherein R<sup>1</sup> is selected from pyranyl, furyl, thienyl, benzofuryl, and benzothienyl.

Claim 62 (original): Compound of Claim 1 wherein R<sup>1</sup> is substituted with a substituent selected from -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CONHR<sup>3</sup>, -COR<sup>3</sup>, -NHR<sup>3</sup>, -SO<sub>2</sub>NHR<sup>3</sup>, -NHC(O)OR<sup>3</sup>, -NHC(O)R<sup>3</sup> and optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>-C<sub>2</sub>-alkylenyl; and wherein R<sup>3</sup> is selected from 5-6 membered heterocyclyl.

Claim 63 (new): A pharmaceutical composition comprising a pharmaceutically-acceptable inert carrier and an effective amount of a compound from any one of Claims 43-62.

Claim 64 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 43.

Claim 65 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 45.

Claim 66 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 47.

Claim 67 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 49.

Claim 68 (new): A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of Claim 51.